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(56) Documents cited
GB 2220855 A EP 0396394 A2 EP 0169684 A2
EP 0119156 A2
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(54) Inhibitor for absorption of digested and decomposed products of food and drink

(57) An inhibitor for absorption of digested and decomposed products of food and drink, which may be taken at meals as a drink, comprises an acidic aqueous solution containing sodium polyacrylate. It is useful for prevention of fatness, palliation of hyperlipidemia, palliation of diabetes, prevention of constipation and palliation of hypohepatia.

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Fig. 1

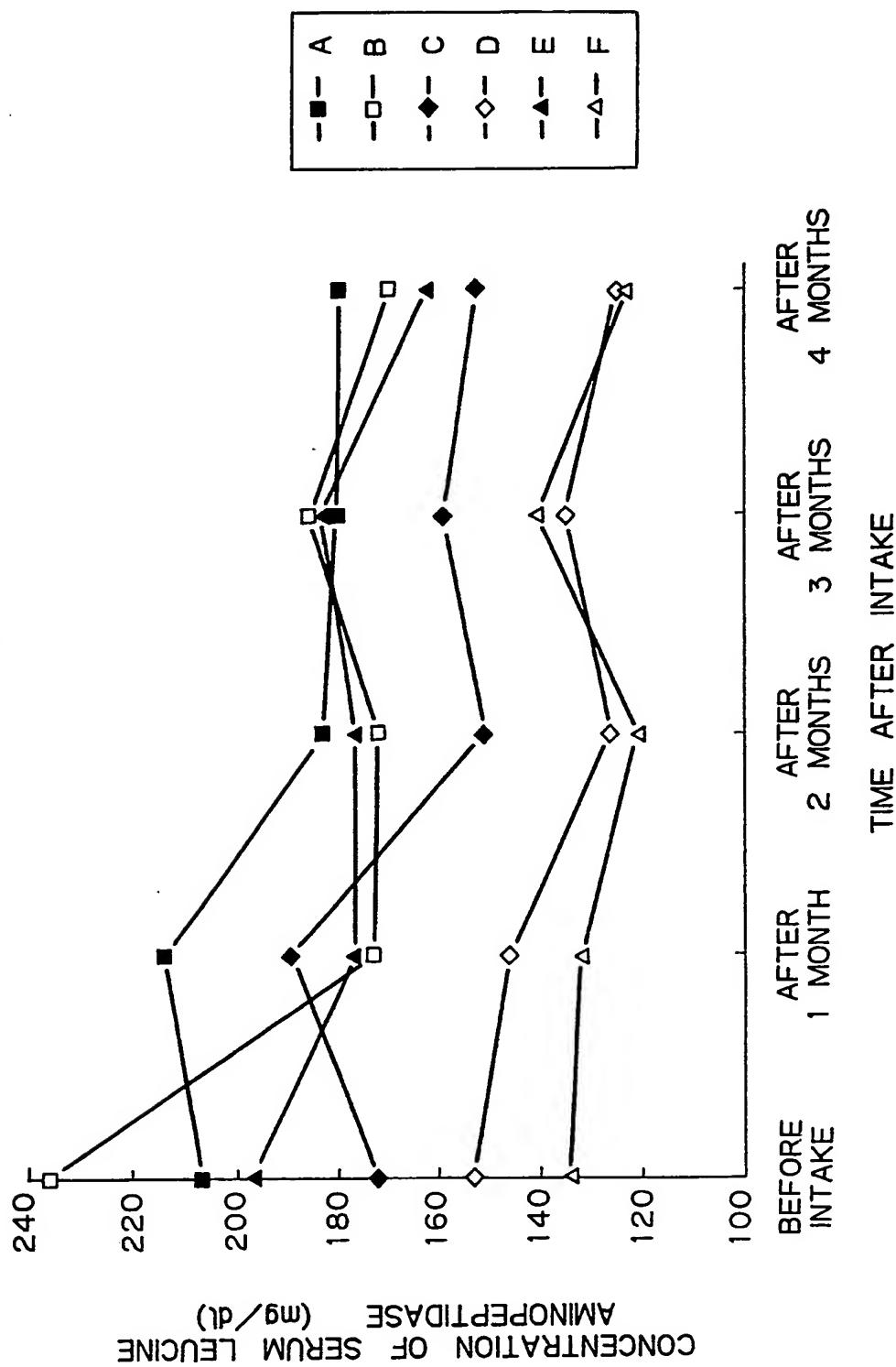


Fig. 2

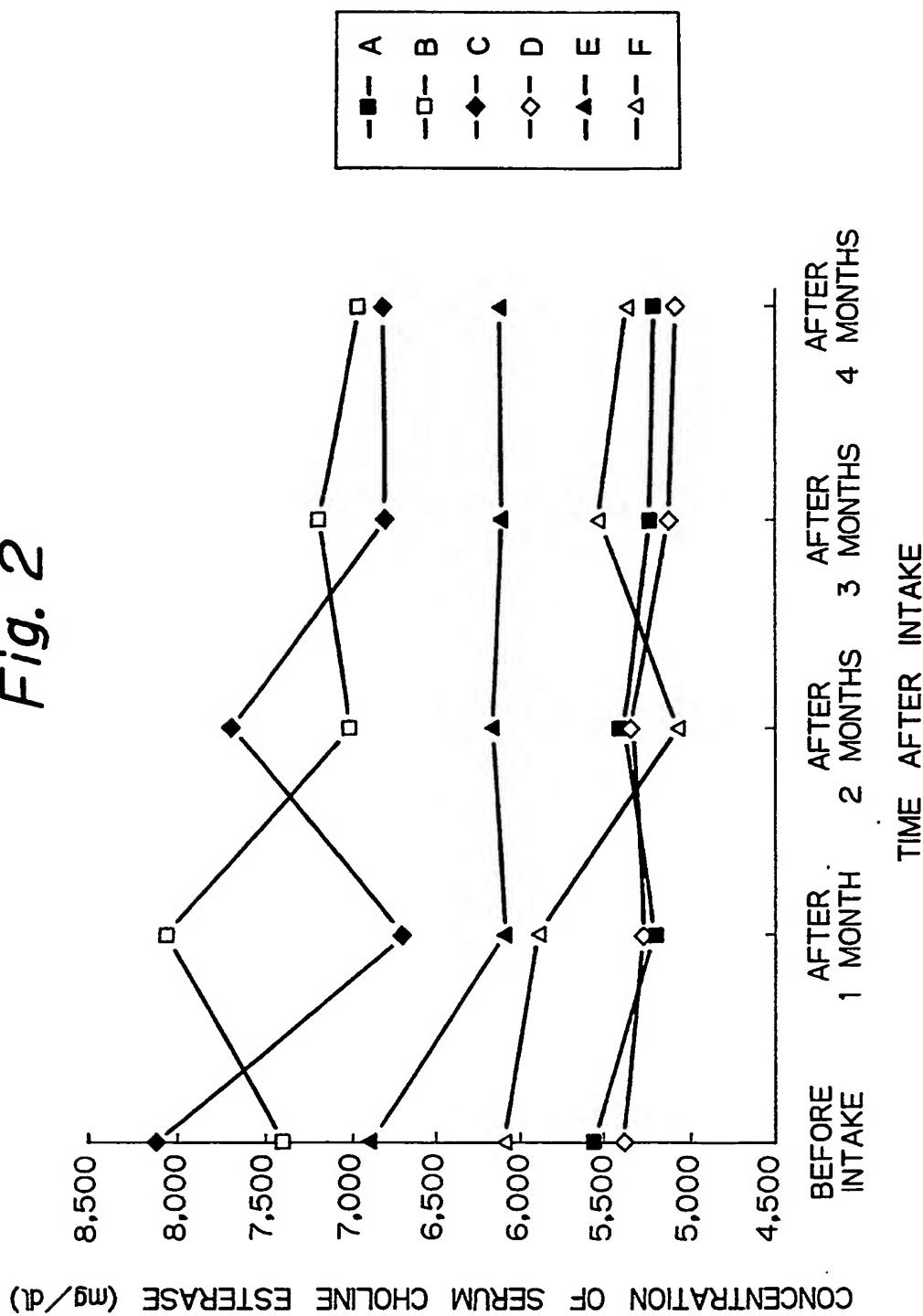


Fig. 3

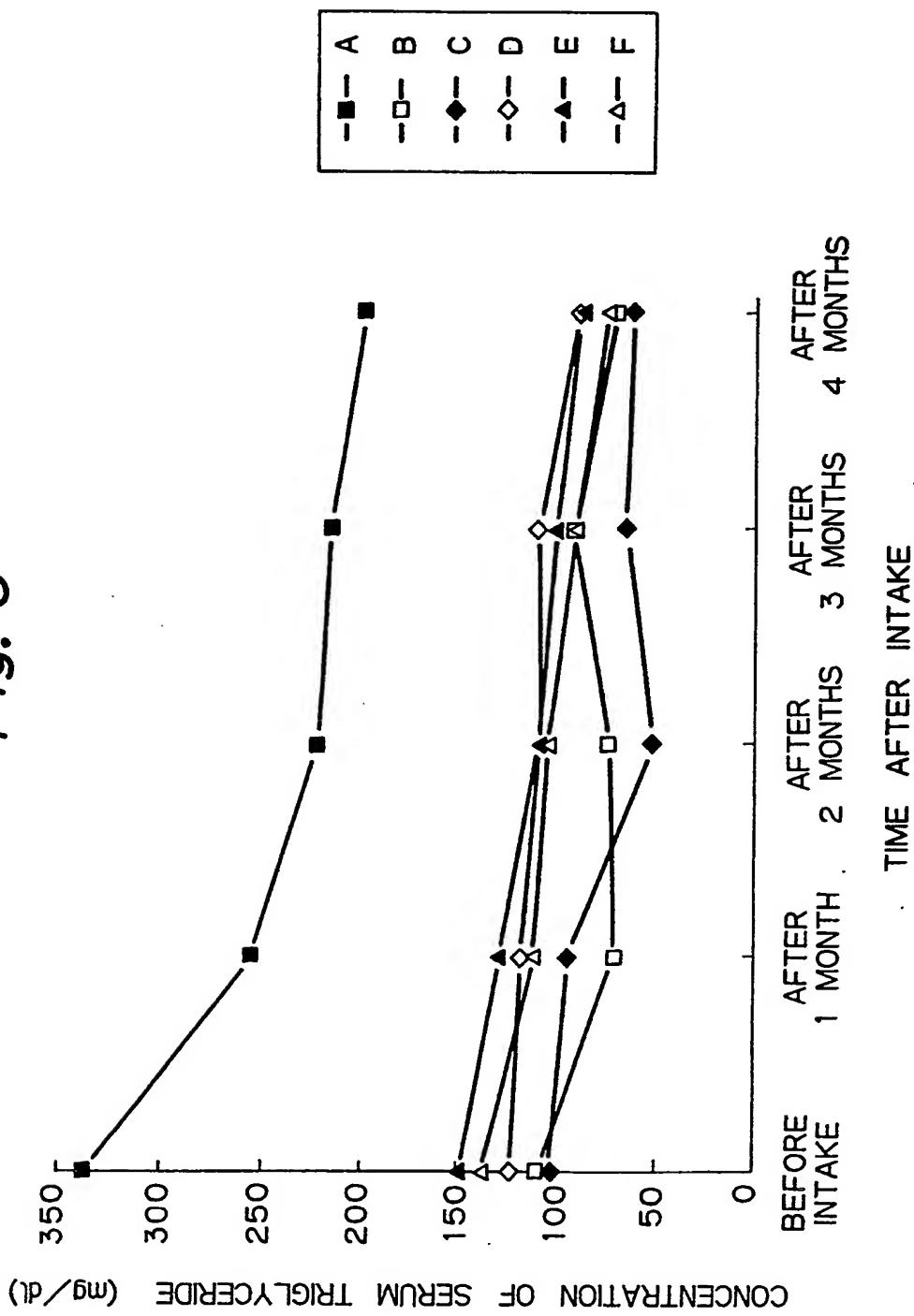


Fig. 4

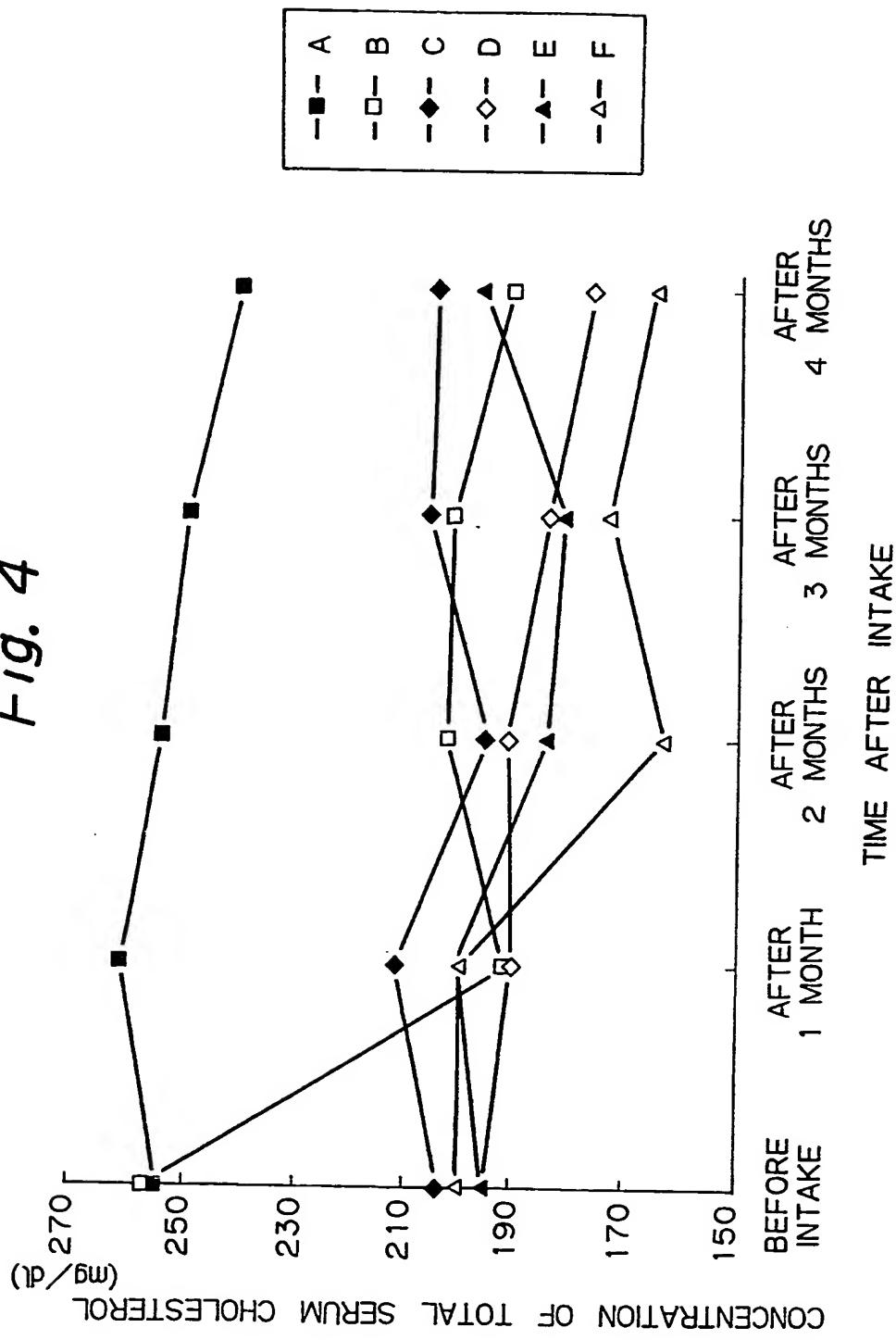
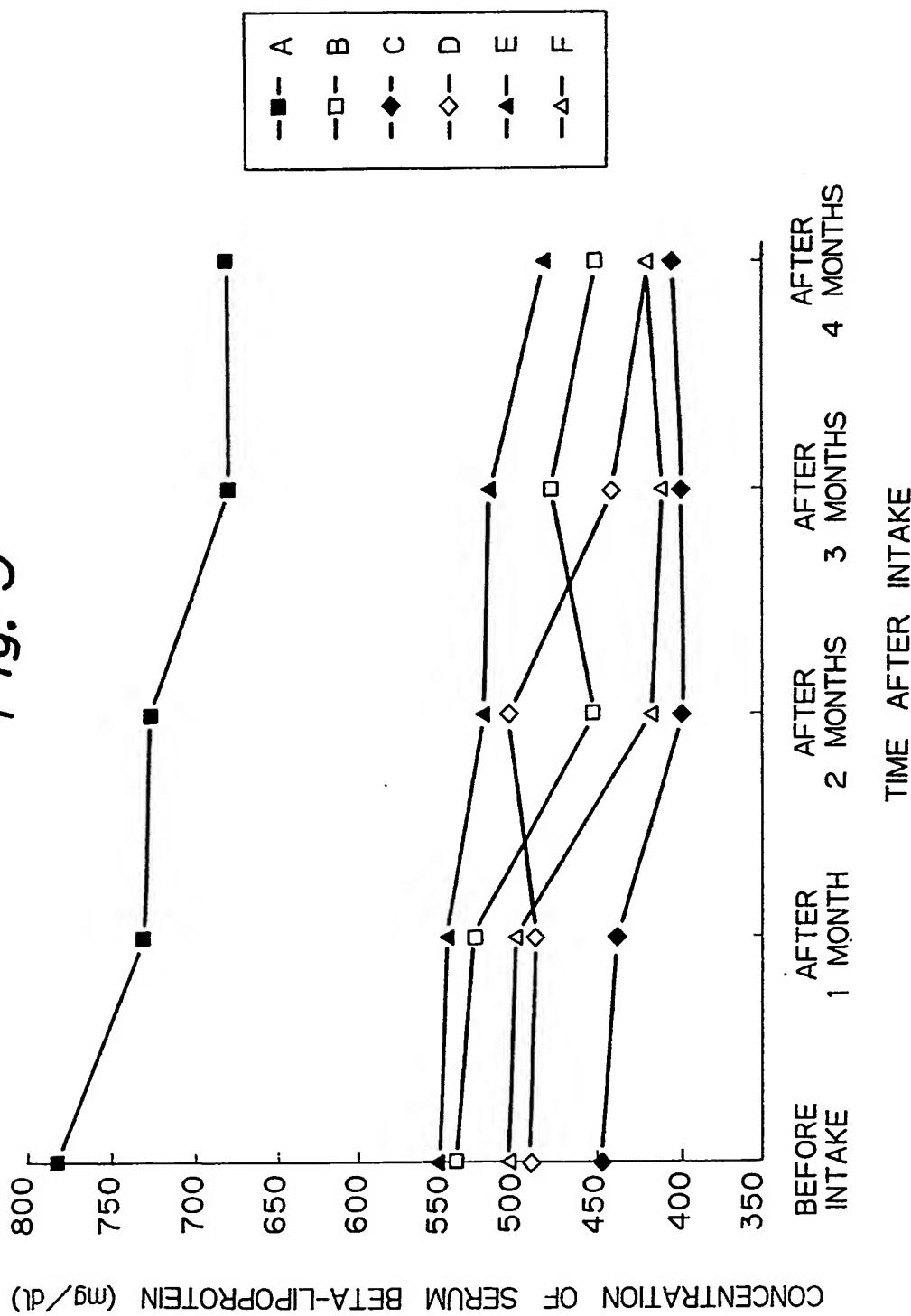


Fig. 5



INHIBITOR FOR ABSORPTION OF DIGESTED AND
DECOMPOSED PRODUCTS OF FOOD AND DRINK

5 The present invention relates to an inhibitor for absorption of digested and decomposed products of food and drink, which is useful for prevention of fatness, palliation of hyperlipemia, palliation of diabetes, prevention of constipation and palliation of hypohepatia. The "digested and decomposed products of food and drink" as referred to herein include not only nutriments of direct products by digestion and decomposition of food and drink but also metabolites of indirect products by the same.

15 Except the past food-shortage days of our country or the countries of still now suffering from starvation, the harmful effects due to superingestion of nutriments have always involved difficult problems which could hardly be solved by people. Needless to say, they causes various problems for health and beauty of people. This is because, although anyone could understand the problems on the desk, the most simple and sure diet could not be carried out easily. The troublesome conditions to be caused by superingestion of nutriments include various adult diseases 20 such as fatness, hyperlipemia, arteriosclerosis, cardiac 25 disorders and diabetes, as well as hypohepatia.

Accordingly, various and uncountable means have heretofore been proposed and carried out as folk medicine (mostly for the purpose of prevention of fatness of women). Various services for prevention of fatness have actually been 5 commercialized. However, in the applicant's experiences, any means for sure, safe and effective prevention of fatness which may be carried and with ease is unknown up to the present.

On the other hand, from the medical viewpoint, 10 various medicines have been sold commercially in the West, such as anfetamin, fenfuramin, faseolamin and madindol. However, all of them act directly or indirectly on the feeding center or the satiety center in the hypothalamus of the brain. Accordingly, they often involve various 15 harmful side effects such as habituation, hyperpsychosis, sleeplessness and hydrodipsia. The potency of them often lowers due to continuous taking.

In order to overcome the problems, the present inventor has already proposed a coagulant, for example, 20 a means of inhibiting absorption of digested and decomposed products of food and drink, which comprises sodium polyacrylate pills coated with an aqueous enteric coating film (Japanese Patent Application Laid-Open No. 3-120227).

These form flocs of digested and decomposed products 25 of food and drink in intestines due to the coagulating and crosslinking effect of them, whereby the enteric absorption of the products is inhibited, and these are characterized

in that the effect is extremely noticeable and the safety is high.

As everything is so, the best daily life style is such that the daily routine may naturally be performed in 5 one's natural daily life. This is because, if anyone make up one's mind to do anything with particular preparedness, he(she) would often fail in it, as so said that anyone is often to be a person who cannot stick to anyone particular.

The same shall apply to the use of the preceding means 10 of inhibiting absorption of digested and decomposed products of food and drink, and the means is desired to be such that anyone may naturally take it in one's daily dietary habits. The general form of the means of satisfying the request is a form like a healthy drink, or that is, the means is in 15 the form of a "drinkable formulation." If the means is in the form of granules or tablets, such would involve an image of a medicine, willy-nilly, so that the intake of them in the public or at meals would be difficult. Apart from the outward appearance of them, it is actually impossible to 20 take such granules or tablets into a mouth along with food to crunch them therein. As a result, they would have to be taken after the meal. In particular, when one takes a meal along with other persons, he(she) would often forget to take the means of such granules or tablets later on.

25 If the means is in the form of a drinkable formulation, it would be free from the problems. This is because, the means of such a drinkable formulation may easily

be taken at meals, as if one takes water, soup, tea, beer, juice or the like.

However, the conventional coagulant, especially sodium polyacrylate which is a preferred coagulant displays a strong viscosity even when a small amount of it is added to water, as is obvious from the fact that addition of it to food as a tackifier is admitted. Therefore, when the coagulant of sodium polyacrylate is directly put in the mouth, then it adheres to everywhere in the mouth to give an extremely 5 unpleasant feeling. The unpleasant feeling is drastic and often lasts for a long period of time (generally, about one 10 hour).

Because of the reasons, it has heretofore been impossible to realize a means of inhibiting absorption 15 of digested and decomposed products of food and drink as a drinkable form.

Under further investigation of the problems, the present invention has been made so as to further elevate 20 and improve the effect of the means. The object of the present invention is therefore to provide a means of inhibiting absorption of digested and decomposed products of food and drink which may be drunk even at means as a drink and also to provide a means of inhibiting fatness, a means 25 of palliating hyperlipemia, a means of palliating diabetes, a means of preventing constipation and a means of palliating hypohepatia.

In order to attain the preceding object, the means of the present invention of inhibiting absorption of digested and decomposed products of food and drink is characterized by containing sodium polyacrylate in an acidic aqueous liquid 5 as an active ingredient.

Fig. 1 is a linear drawing to show the variation of the serum leucine aminopeptidase due to intake of the drink means of the present invention.

10 Fig. 2 is a linear drawing to show the variation of the serum choline esterase due to intake of the drink means of the present invention.

Fig. 3 is a linear drawing to show the variation of the serum triglyceride due to intake of the drink means of 15 the present invention.

Fig. 4 is a linear drawing to show the variation of the total serum cholesterol due to intake of the drink means of the present invention.

20 Fig. 5 is a linear drawing to show the variation of the serum beta-lipoprotein due to intake of the drink means of the present invention.

The acidic aqueous liquid of the present invention may be anyone which is acidic and which is drinkable by 25 human beings and animals. It may be one to be prepared by dissolving any particular chemical or industrial products in water or may also be an acidic one which is used as food or drink.

For instance, generally mentioned are an aqueous solution of ascorbic acid, citric acid, acetic acid or the like, a diluted solution of edible vinegar of various kinds, and juices of citrus fruits of lemon, orange and the like.

5 The acidity of the liquid is to have a pH of 5 or less, preferably from 2.5 to 3.5. Where it has the determined acidity, from 2 to 3 g of sodium polyacrylate may dissolve in 100 ml of the acidic solution to still maintain a sufficient fluidity for drink. In the case, the resulting solution may 10 have a viscosity of approximately from 0.3 to 0.6 poise, as measured with a viscometer.

15 The intake may be approximately from 0.05 to 0.1 g/day/adult to generally display the effect. Therefore, when the solution has a concentration of from 2 to 3 g/100 ml, then the intake of only from 1.7 to 5.0 ml/day is sufficient. The stock solution may further be diluted for drinking.

As mentioned above, a drinkable means suitable as an ordinary drink is realized by the present invention.

Actual performance of the present invention includes 20 two types, one being to take a small amount of the solution of having such a high concentration and the other being to take a satisfactory large amount of a diluted solution having a lowered concentration. As a further developed and preferred drinkable embodiment of the present invention, 25 also employable is a form of a toned drink, such as a honey lemon drink or the like, which is drinkable as it is.

For instance, as shown in the following example, employable is an aqueous solution containing 1000 mg of ascorbic acid per 100 ml of water. The solution of the case has a pH value of about 2.8 and gives a somewhat acidic 5 taste. Addition of some sweetness thereto is especially preferred, as giving a refreshing taste like a so-called sports drink.

Needless to say, the gastric liquid is acidic. Therefore, after drunk, the drink means of the present 10 invention maintains the acidic condition, like that before drunk, still in the inside of the stomach, especially in the gastric first region and second region (the cardiac part and body part of stomach). The sodium polyacrylate of the means is therefore dispersed in and blended with the food in the 15 stomach as a solution thereof.

As previously mentioned, the present inventor has already developed various means of inhibiting digested and decomposed products of food and drink, prior to the present invention, by coating a coagulant such as sodium 20 polyacrylate or the like with an aqueous enteric coating film or by encapsulating it into enteric capsules in order that the enteric coated granules, pills or capsules (hard capsules or soft capsules) may be dispersed in and blended with the food in the stomach after administered.

Being different from the previously developed means, 25 the drink means of the present invention already contains sodium polyacrylate in an aqueous liquid before it is drunk, and the aqueous liquid condition of the means is still

maintained also in the stomach, after drunk, with it being blended with and dispersed in the food and drink in the stomach, whereupon the sodium polyacrylate is then petrissage into the details of the food due to the strong petrified 5 action of the stomach to the result that the coagulating effect of the sodium polyacrylate is elevated further more. As a result, when the same intake of the means of the present invention as that of the means of the prior art is taken, the effect of the former is larger than the latter. Accordingly, 10 if the effect of the same degree is intended to be attained, the intake of the drink means of the present invention may be reduced much.

Though not considered due to only the effect in the stomach, the drink means of the present invention has been 15 found to attain almost the same effect in the intake of approximately from 1/10 to 1/40 of the preceding conventional means of inhibiting absorption of digested and decomposed products of food and drink.

Digestion and decomposition of food further progress 20 from the gastric third region (pylorus area) to the upper region of the small intestine (from duodenum to jejunum) in such a way that the food is digested and decomposed to the molecules and simultaneously absorbed. In the said regions and the subsequent regions, the digested and 25 decomposed products of food and drink are coagulated by sodium polyacrylate. Since sodium polyacrylate has already been dispersed in the digested and decomposed products of food and drink as a solution thereof, in taking the drink

means of the present invention, formation of coagulated flocs of the digested and decomposed products of food and drink is effected more efficiently.

When the conventional means of inhibiting absorption of the digested and decomposed products of food and drink is taken, then the aqueous gastric coating film of coating the active ingredient of sodium polyacrylate is dissolved in the area of from the gastric third region to the upper region of the small intestine so that the liberated active ingredient may coagulate the digested and decomposed products of food and drink in the subsequent area after the regions.

However, the active ingredient of sodium polyacrylate itself would often form large solid masses in the stomach to hardly attain the necessary dispersion and admixture of it with the food and, as a result, formation of sufficient food flocs is often impossible to lower the effect of the ingredient. The reason may be presumed because water would penetrate into the liberated pills or granules, after the aqueous enteric coating film of coating them has been dissolved but before the pills or granules could sufficiently be dispersed, to give coagulated masses essentially composed of sodium polyacrylate and, as a result, the non-dispersed masses would directly pass through the intestinal tubes as they are.

Anyhow, since the drink means of the present invention is in the form of a liquid and additionally the liquid may maintain the acidic condition still in the stomach of displaying a high stirring activity, it may be dispersed in and blended with even the details of food and drink and also

those of the digested and decomposed products of them much more than the conventional means and, as a result, it may display much more elevated coagulating effect and absorption-inhibiting effect than the latter.

5 The coagulate forms masses and flocs essentially due to the crosslinking between the digested and decomposed products of food and drink and sodium polyacrylate and the surfaces of the masses and flocs are pasty or pulpy (like molten potato starch). Accordingly, the coagulate of the 10 form is prevented from being absorbed from the walls of the intestines, when it moves small intestines, especially in the jejunum which is the essential site of absorbing it. As a result, absorption of the digested and decomposed products of food and drink as taken into a body may be inhibited in 15 the determined amount corresponding to the amount of the drink means of the present invention as also taken into the same, while they are discharged out of the body as feces.

The coagulate includes various products (nutriments) resulting from digestion and decomposition of food and drink, 20 such as lipids, hydrocarbon and others. Accordingly, the drink means of the present invention of inhibiting absorption of them acts also as a means of preventing fatness.

Since the surface of the coagulate is pasty or pulpy (like molten potato starch) and such is blended in the food 25 residue or feces, the resulting blend may smoothly pass through the intestines. Therefore, it does not cause disorder of the membranes of the intestinal walls but it rather obviously displays an effect of promoting the

evacuation of the bowels so that it is also effective as a means of preventing constipation.

From the test results of the following example, the drink means of the present invention is noted to also display 5 the effect of palliating hypohepatia, in view of the indices of the blood lipids as well as the leucine aminopeptidase, choline esterase and others. From them, the drink means of the present invention is expected to be also effective as a means of palliating hypohepatia.

10 The drink means of the present invention may be blended with dietary fibers, chitin, chitosan and others, whereby the effects of it may be improved further.

As explained in the above, the drink means of the present invention contains sodium polyacrylate, as an active 15 ingredient, in an acidic aqueous liquid and display the same property as ordinary drinks. Therefore, being different from the conventional means, it may be taken at meals light-heartedly with ease.

As being a liquid, the drink means of the present 20 invention may well be penetrated and dispersed into the details of food in the stomach to the result that it may display the same effect as the conventional means even when taken in a much smaller amount than the latter.

To prepare the conventional means, coating of 25 an aqueous enteric coating film over powder or granules of sodium polyacrylate is necessary. However, such coating is very complicated. For instance, a material of the aqueous enteric coating film, such as hydroxypropylmethyl cellulose

acetate succinate, may be dissolved only in a highly inflammable and toxic solvent such as acetone; and the material is sprayed and dried over granules of sodium polyacrylate. Such treatment needs much expensive facilities 5 and many steps to the result that the cost of the coated granules is inevitably high.

Contrary to this, the drink means of the present invention may well be prepared only by adding sodium polyacrylate into an acidic aqueous liquid followed by 10 blending them. Thus, it may be prepared extremely easily at a low cost.

Next, the present invention will be explained in more detail by way of the following examples, which, however, are not intended to restrict the scope of the present invention.

15 EXAMPLE

Preparation of Drink Means:

1000 mg of ascorbic acid was dissolved in 100 ml of a so-called sports drink-like toned aqueous liquid as prepared by adding an electrolyte to water along with some 20 flavorings, to yield an acidic aqueous liquid. This had an acidity of pH 2.8 and gave an acidic taste.

0.05 g of sodium polyacrylate was dissolved in 100 ml of the liquid to prepare a drink means.

Before and after addition of sodium polyacrylate, 25 the liquid had no noticeable change in the viscosity and the fluidity. (The viscosity was about 0.02 poise at 20°C.)

Test of Drink Means:

The conditions of testing the drink means thus prepared are as follows:

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Panelists: Shown below

| Code of Panelists | Sex | Age | Height (cm) | Original Weight (kg) | Condition of Health | Bowel Motion | Occupation |
|----------------------|--------|-----|----------------|-------------------------|------------------------|-----------------|---------------|
| A | female | 56 | 150 | 75.0 | good | constipated | nurse |
| B | female | 55 | 156 | 82.7 | good | constipated | housewife |
| C | female | 57 | 160 | 88.5 | good | constipated | housewife |
| D | female | 56 | 152 | 55.2 | good | constipated | housewife |
| E | male | 55 | 170 | 78.8 | good | constipated | office worker |
| F | female | 43 | 162 | 77.5 | good | constipated | nurse |

Test Period: Four months from July to October, 1991

How to take the drink means:

They took 100 ml of the drink means each at their lunch and supper meals (corresponding to about 0.1 g/day 5 of-sodium polyacrylate).

The contents of their meals were not specifically defined and they continued their own ordinary dietary habits during the test.

How to obtain the test data:

10 All the panelists were subjected to general blood examination and biochemical examination of about 40 items, before the test and at every one month after initiation of the test, whereupon the variation of the condition of each of them was checked continuously.

15 From the test results, noticeable depression of the leucine aminopeptidase, choline esterase, triglyceride, whole cholesterol and beta-lipoprotein in the serum was admitted in all the panelists. The test data are shown in Fig. 1 to Fig. 5, in which each line graph indicates the variation of 20 the tested item of each panelist tested. As is noted therefrom, depression of all the tested components in the serum is significant in every panelist. Thus, the effect of taking the drink means was demonstrated by the test.

Naturally, the total cholesterol is to gradually 25 lower in three months to a half year or to one year. In the present test, depression of the value was obvious in four (A, B, D, F) of all the six panelists. The effect of the drink means was verified also in this point.

The variation of the weight of each panelist was as follows:

Variation of Weight:

During the test, the condition of each panelist of 5 evacuating the bowels (the number of evacuating the bowels, the amount of the discharged feces, the appearance of the discharged feces, and the condition in evacuating the bowels, etc.) as well as the variation of the subjective symptoms of each panelist during the test period of four months of 10 taking the drink means of the example were recorded every day. Before the test, all the panelists were in the habit of being constipated. From 3 days to one week after initiation of the test of taking the drink means, all became to evacuate the bowels once to three times a day. Both the solidness of 15 the discharged feces and the condition thereof were good.

In addition, it was also observed that the color of the discharged feces changed to a clear bile color in every panelist. This means that the drink means of the present invention coagulated the bile and bile acid in the tested 20 panelist to discharge them out of the body. Bile acid is formed essentially from the blood lipids and is again absorbed in the jejunum. Due to inhibition of the absorption of the bile acid in the jejunum, the metabolism of fats in the body is thereby promoted. In this point, the drink means 25 of the present invention is noted to have still other effects of palliating hyperlipemia and of palliating hypohepatia.

We claim:

1. An inhibitor for absorption of digested and decomposed products of food and drink, comprising an acidic aqueous liquid containing sodium polyacrylate.
- 5 2. The inhibitor for absorption of digested and decomposed products of food and drink as claimed in claim 1, which has a pH value of 5 or less.
- 10 3. The inhibitor for absorption of digested and decomposed products of food and drink as claimed in claim 2, which has a pH value of from 2.5 to 3.5.
4. The inhibitor for absorption of digested and decomposed products of food and drink as claimed in claim 1, which has a viscosity of from 0.3 to 0.6 poise.
- 15 5. The inhibitor for absorption of digested and decomposed products of food and drink as claimed in claim 1, in which the acidic aqueous liquid is one selected from an aqueous ascorbic acid solution, an aqueous citric acid solution, an aqueous acetic acid solution, juices of citrus fruits and combination of them.
- 20 6. Use of an acidic aqueous liquid containing sodium polyacrylate as an agent for preventing fatness.
7. Use of an acidic aqueous liquid containing sodium polyacrylate as an agent for palliating hyperlipemia.
- 25 8. Use of an acidic aqueous liquid containing sodium polyacrylate as an agent for palliating diabetes.
9. Use of an acidic aqueous liquid containing sodium polyacrylate as an agent for preventing constipation, and palliation of hypohepatia.

10. Use of an acidic aqueous liquid containing sodium polyacrylate as an agent for palliating hypohepatia.

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Examiner's report to the Comptroller under
Section 17 (The Search Report)

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Relevant Technical fields

(i) UK CI (Edition L) A5B (BKC BLB BNB)

Search Examiner

J F JENKINS

(ii) Int CI (Edition 5) A61K 31/78

Databases (see over)

(i) UK Patent Office

Date of Search

(ii) ONLINE DATABASES: WPI, CAS-ONLINE

19 MARCH 1993

Documents considered relevant following a search in respect of claims 1 TO 10

| Category (see over) | Identity of document and relevant passages | Relevant to claim(s) |
|------------------------|---|-------------------------|
| Y | GB 2220855 A (RECKITT & COLMAN) see Examples 6 to 9 and Claims 2 and 3 | 1 |
| Y | EP 0396394 A2 (CHAS F THACKRAY) see Tables 2 to 4 and page 2 lines 22-23 | 1 |
| Y | EP 0169684 A2 (RECKITT & COLMAN) see Examples 8 to 10, page 2 lines 10-16 and Claim 7 | 1, 2 |
| Y | EP 0119156 A2 (SCHERING AKT) see Claim 2 and page 2 lines 16-28 | 1, 2 |
| X | Patent Abstracts of Japan vol 10 no 377 [c-392] page 165 Dec 16 1986 & JP 610172815 | 1, 2 |



| Category | Identity of document and relevant passages | Relevant to claim(s) |
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X: Document indicating lack of novelty or of inventive step.

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A: Document indicating technological background and/or state of the art.

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